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\* \* \* \* \* \* \* \* \* \* \* \* \* \* \* Welcome to STN International \* \* \* \* \* \* \* \* \* \* \* \* \* \* \*

NEWS 1 Web Page for STN Seminar Schedule - N. America  
NEWS 2 JUL 02 LMEDLINE coverage updated  
NEWS 3 JUL 02 SCISEARCH enhanced with complete author names  
NEWS 4 JUL 02 CHEMCATS accession numbers revised  
NEWS 5 JUL 02 CA/CAplus enhanced with utility model patents from China  
NEWS 6 JUL 16 CAplus enhanced with French and German abstracts  
NEWS 7 JUL 18 CA/CAplus patent coverage enhanced  
NEWS 8 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification  
NEWS 9 JUL 30 USGENE now available on STN  
NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags  
NEWS 11 AUG 06 FSTA enhanced with new thesaurus edition  
NEWS 12 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents  
NEWS 13 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records  
NEWS 14 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB  
NEWS 15 AUG 27 USPATOLD now available on STN  
NEWS 16 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data  
NEWS 17 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index  
NEWS 18 SEP 13 FORIS renamed to SOFIS  
NEWS 19 SEP 13 INPADOCDB enhanced with monthly SDI frequency  
NEWS 20 SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998  
NEWS 21 SEP 17 CAplus coverage extended to include traditional medicine patents  
NEWS 22 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements  
NEWS 23 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt  
NEWS 24 OCT 19 BEILSTEIN updated with new compounds  
  
NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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10 / 647,156

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FILE 'HOME' ENTERED AT 17:35:10 ON 01 NOV 2007

FILE 'REGISTRY' ENTERED AT 17:35:17 ON 01 NOV 2007  
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STRUCTURE FILE UPDATES: 31 OCT 2007 HIGHEST RN 952181-70-3  
DICTIONARY FILE UPDATES: 31 OCT 2007 HIGHEST RN 952181-70-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

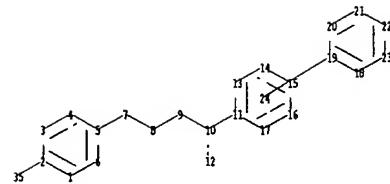
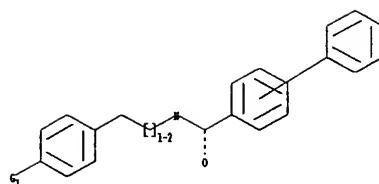
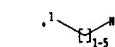
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stnqgen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10647156a.str



chain nodes :

7 8 9 10 12 28 29 30 35

ring nodes :

1 2 3 4 5 6 11 13 14 15 16 17 18 19 20 21 22 23

chain bonds :

2-35 5-7 7-8 8-9 9-10 10-11 10-12 28-29 29-30

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-13 11-17 13-14 14-15 15-16 16-17 18-19  
18-23 19-20 20-21 21-22 22-23

exact/norm bonds :

2-35 8-9 9-10 10-12 29-30

exact bonds :

5-7 7-8 10-11 28-29

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-13 11-17 13-14 14-15 15-16 16-17 18-19  
18-23 19-20 20-21 21-22 22-23

isolated ring systems :

containing 1 : 11 : 18 :

G1:N,Hy,[\*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:Atom 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 28:CLASS 29:CLASS 30:CLASS 35:CLASS

L1 STRUCTURE UPLOADED

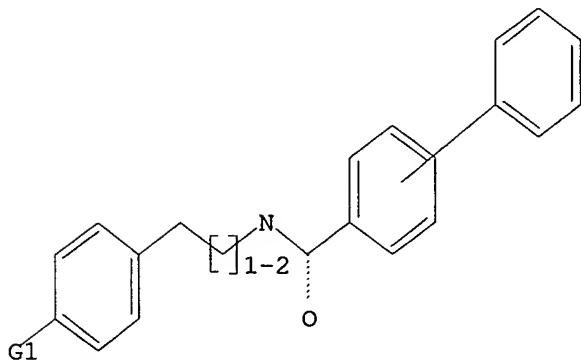
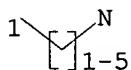
=&gt; d 11

L1 HAS NO ANSWERS

10/ 647,156

L1

STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 17:36:07 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 10241 TO ITERATE

19.5% PROCESSED 2000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 198755 TO 210885  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 ful  
FULL SEARCH INITIATED 17:36:15 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 205713 TO ITERATE

100.0% PROCESSED 205713 ITERATIONS 22 ANSWERS  
SEARCH TIME: 00.00.08

L3 22 SEA SSS FUL L1

=> file zcaplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
172.55 172.76

FILE 'ZCAPLUS' ENTERED AT 17:36:30 ON 01 NOV 2007  
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FILE COVERS 1907 - 1 Nov 2007 VOL 147 ISS 19  
FILE LAST UPDATED: 31 Oct 2007 (20071031/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 10 L3

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FILE 'REGISTRY' ENTERED AT 17:35:17 ON 01 NOV 2007

L1 STRUCTURE uploaded  
L2 0 S L1  
L3 22 S L1 FUL

FILE 'ZCAPLUS' ENTERED AT 17:36:30 ON 01 NOV 2007

L4 10 S L3

=> d 14 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 10 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 10 ZCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:1041179 ZCAPLUS  
DOCUMENT NUMBER: 145:419471  
TITLE: Preparation of peptide 1,2-ethylenediamine derivatives for the treatment of Alzheimer's disease  
INVENTOR(S): Eickmeier, Christian; Fuchs, Klaus; Peters, Stefan; Dorner-Ciossek, Cornelia; Heine, Niklas; Handschuh, Sandra; Klinder, Klaus; Kostka, Marcus  
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG  
SOURCE: PCT Int. Appl., 325pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006103038	A1	20061005	WO 2006-EP2769	20060327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,  
 KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,  
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,  
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,  
 VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM

US 2006223759

A1 20061005

US 2006-278059

20060330

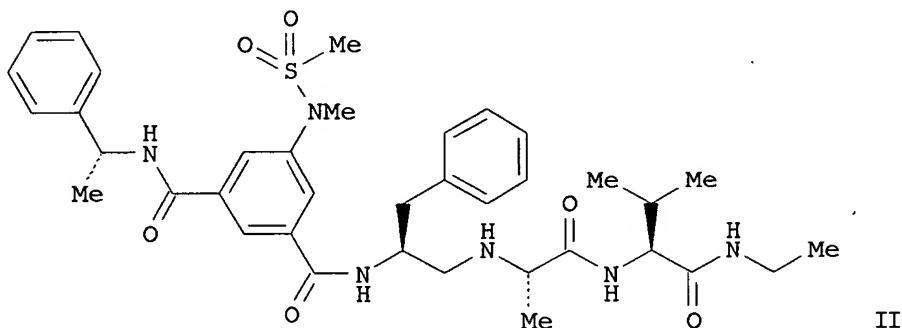
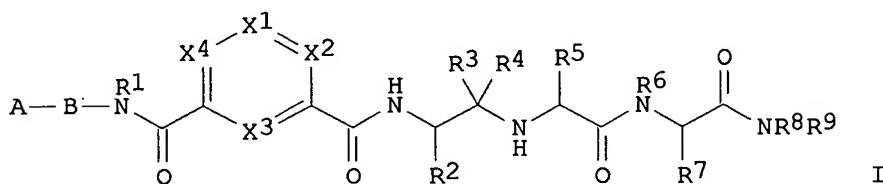
PRIORITY APPLN. INFO.:

EP 2005-6939 A 20050330

OTHER SOURCE(S):

MARPAT 145:419471

GI



AB The invention relates to substituted 1,2-ethylenediamines I [A is aryl or heteroaryl which may be substituted; B is C1-4-alkylene or oxyalkylene; R1, R2, R5-R9 are H, (un)substituted alkyl, (hetero)aryl, etc. (but R2 is not H); R3, R4 are H, alkyl, F, CF3, CHF2, CH2F; X1-X4 are N, C or substituted carbon (0-3 of these groups are N)], including tautomers, diastereomers, enantiomers, and salts, and their use in the treatment of Alzheimer's disease (AD) and similar diseases. Thus, peptide II was prepared by a multistep sequence using reactants which include di-Me 5-aminoisophthalate, (R)-1-phenylethylamine, and protected amino acids. Compds. of the invention listed in a table have IC50 values < 30 µM in the β-secretase inhibition assay.

IT 911792-28-4P 911792-31-9P

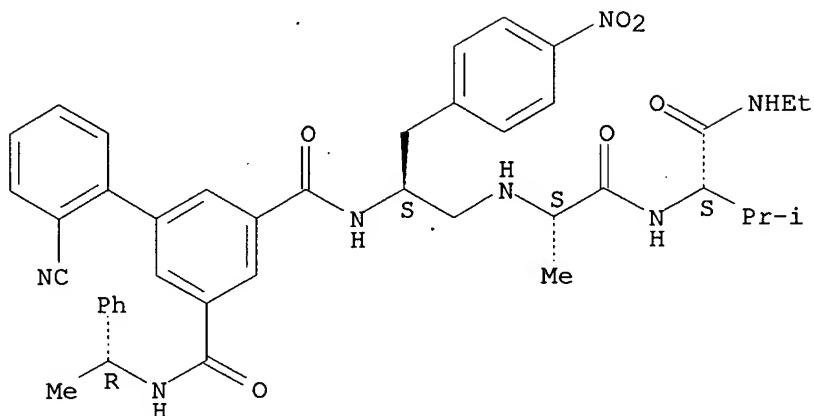
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide ethylenediamine derivs. for treatment of Alzheimer's disease)

RN 911792-28-4 ZCAPLUS

CN L<sup>-</sup>-Valinamide, N-[(2S)-2-[[[2'-cyano-5-[[[(1R)-1-phenylethyl]amino]carbonyl][1,1'-biphenyl]-3-yl]carbonyl]amino]-3-(4-nitrophenyl)propyl]-L-alanyl-N-ethyl- (9CI) (CA INDEX NAME)

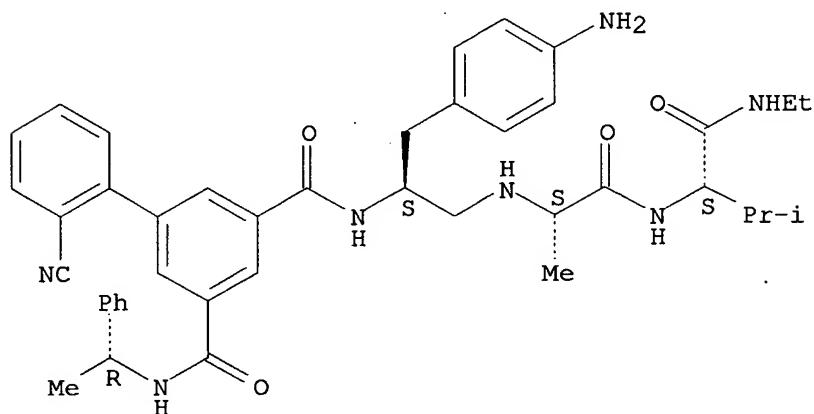
Absolute stereochemistry.



RN 911792-31-9. ZCPLUS

CN L-Valinamide, N-[(2S)-3-(4-aminophenyl)-2-[[[2'-cyano-5-[[[(1R)-1-phenylethyl]amino]carbonyl][1,1'-biphenyl]-3-yl]carbonyl]amino]propyl]-L-alanyl-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 10 ZCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:141021 ZCPLUS

DOCUMENT NUMBER: 142:261788

TITLE: Preparation of aryl and heteroaryl amino acid derivatives as antagonists of factor IX and/or factor XI

INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Guo, Xiao-Chuan; Christen, Daniel Peter; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi, Sameer; Yaramasu, Tripura; Behme, Christopher

PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA

SOURCE: PCT Int. Appl., 313 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014533	A2	20050217	WO 2004-US25463	20040806
WO 2005014533	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263508	A1	20050217	AU 2004-263508	20040806
CA 2531796	A1	20050217	CA 2004-2531796	20040806
US 2005049310	A1	20050303	US 2004-913882	20040806
US 2005059713	A1	20050317	US 2004-913216	20040806
EP 1660439	A2	20060531	EP 2004-780318	20040806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1832920	A	20060913	CN 2004-80022750	20040806
JP 2007501844	T	20070201	JP 2006-523245	20040806
PRIORITY APPLN. INFO.:			US 2003-493878P	P 20030808
			US 2003-493879P	P 20030808
			US 2003-493903P	P 20030808
			WO 2004-US25463	W 20040806

OTHER SOURCE(S): MARPAT 142:261788

AB The invention relates to aryl and heteroaryl compds. Ar2-K [Ar2 is (un)substituted aryl, heteroaryl, fused cycloalkylaryl, fused cycloalkylheteroaryl, fused heterocyclaryl or fused heterocyclheteroaryl; K is a carbamoyl group of defined structure or Ar1-V-CH[(CH<sub>2</sub>)<sub>0-2</sub>-G]-X-, where G is H, CO<sub>2</sub>R<sub>1</sub>, CH<sub>2</sub>OR<sub>1</sub>, COR<sub>1</sub>, CR<sub>1</sub>:NOR<sub>2</sub>, CONR<sub>1</sub>R<sub>2</sub>, CONHNH<sub>2</sub> or an acid or ester isostere and R<sub>1</sub>, R<sub>2</sub> independently are H, alkyl, alkoxy, aryl, alkylaminoacyl, etc. or may combine to form a ring; V is (CH<sub>2</sub>)<sub>1-2</sub>-S-(CH<sub>2</sub>)<sub>0-2</sub>, (CH<sub>2</sub>)<sub>1-2</sub>-S, S-(CH<sub>2</sub>)<sub>0-2</sub> (or corresponding sulfonyl derivs.), (CH<sub>2</sub>)<sub>1-2</sub>-O-(CH<sub>2</sub>)<sub>0-2</sub>, (CH<sub>2</sub>)<sub>1-2</sub>-NR<sub>7</sub>-(CH<sub>2</sub>)<sub>0-2</sub>, (CH<sub>2</sub>)<sub>1-2</sub>-O or a direct bond, where R<sub>7</sub> is H, alkyl, aryl, etc. (the CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub> groups may be substituted); X is NR<sub>8</sub>, CONR<sub>8</sub>, NR<sub>8</sub>CO, NR<sub>8</sub>CONR<sub>9</sub>, O<sub>2</sub>CNR<sub>8</sub>, SO<sub>2</sub>NR<sub>8</sub> or NR<sub>8</sub>SO<sub>2</sub>NR<sub>9</sub>, where R<sub>8</sub>, R<sub>9</sub> are independently H, alkyl, aryl, etc.; Ar1 is a group as defined for Ar2] and their pharmaceutical compns. Compds. Ar2-K may be antagonists or partial antagonist of factor IX and/or factor XI and thus may be useful for inhibiting the intrinsic pathway of blood coagulation. Applications include the management, treatment and/or control of diseases caused in part by the intrinsic clotting pathway. Thus, (25)-[5-bromo-2-(4-trifluoromethylbenzyloxy)benzoylamino]-3-(2'-phenoxybiphenyl-4-yl)propionic acid, prepared by amidation and O-benzylation reactions, inhibited factor IX or factor XI in the in vitro clotting assay with IC<sub>50</sub> < 30 micromolar.

IT 660826-69-7P 660826-70-0P

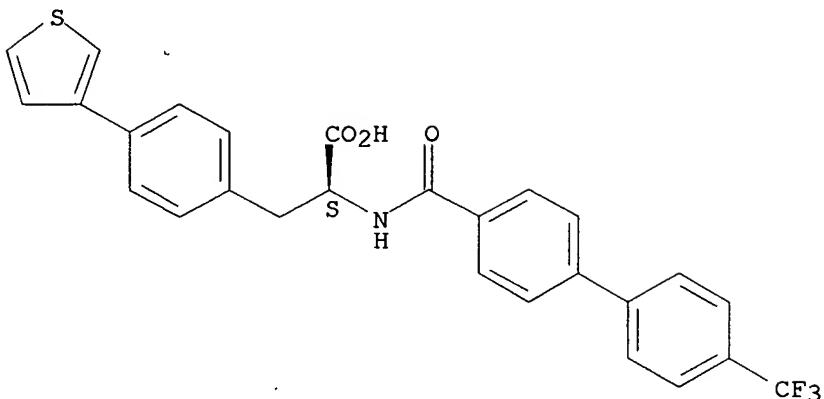
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl and heteroaryl amino acid derivs. as antagonists of factor IX and/or factor XI)

RN 660826-69-7 ZCAPLUS

CN L-Phenylalanine, 4-(3-thienyl)-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]carbonyl]- (CA INDEX NAME)

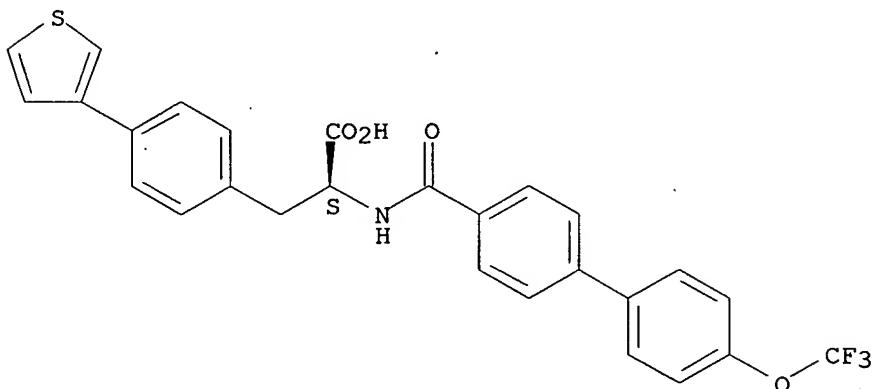
Absolute stereochemistry.



RN 660826-70-0 ZCPLUS

CN L-Phenylalanine, 4-(3-thienyl)-N-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 10 ZCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:696336 ZCPLUS

DOCUMENT NUMBER: 141:207231

TITLE: Preparation of N-phenethylpiperidine-1-carboxamide, N-phenethylbenzamides, and N-phenethylbiphenyl-4-carboxamide derivatives as melanin-concentrating hormone antagonists

INVENTOR(S): Ishihara, Yuji; Kamata, Makoto; Takekawa, Shiro

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 227 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072018	A1	20040826	WO 2004-JP1467	20040212

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 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
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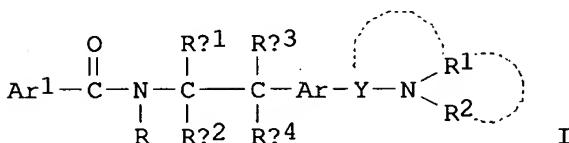
JP 2004262931 A 20040924 JP 2004-34598 20040212  
 EP 1593667 A1 20051109 EP 2004-710515 20040212

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 2006128690 A1 20060615 US 2005-545120 20050810

PRIORITY APPLN. INFO.: JP 2003-34010 A 20030212  
 WO 2004-JP1467 W 20040212

OTHER SOURCE(S): MARPAT 141:207231  
 GI



AB Amine compds. represented by the formula (I) or salts thereof [Ar1 = (un)substituted cyclic group; R = H, C1-6 alkyl, halo-C1-6 alkyl, each (un)substituted Ph or pyridyl; Ra1-Ra4 = H, C1-6 alkyl, halo-C1-6 alkyl, halo, cyano, C1-6 alkoxy-, halo-C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkylthio, NH2, mono- or di(C1-6 alkyl)amino, CHO, C1-6 alkylcarbonyl, halo-C1-6 alkylcarbonyl, C1-6 alkylsulfonyl, halo-C1-6 alkylsulfonyl, each (un)substituted pyridyl or Ph; Ar = (un)substituted mono cyclic aromatic ring; Y = alkylene or haloalkylene; R1 , R2 = H, C1-6 alkyl; or NR1R2 together forms (un)substituted N-containing heterocyclic ring; or NR1 and Y together forms (un)substituted N-containing heterocyclic ring and R2 = H or C1-6 alkyl; provided that when NR1R2 together forms N- containing heterocyclic ring or R = C1-4 alkyl, Ar1 = (un)substituted cyclic group] are prepared These compds. have antagonistic activity against melanin-concentrating hormone (MCH) and are useful as preventives/therapeutic agents for obesity, depression, or anxiety, or as antifeeding agents (appetite depressants). For example, N-[2-[4-[1-(1-azepanyl)ethyl]phenyl]ethyl]-4'-chloro-1,1'-biphenyl-4-carboxamide showed IC50 of 3 nM for inhibiting the binding of [36S]-guanosine 5'-( $\gamma$ -thio)triphosphate to CHO cells expressing human SLC-1 receptor (MCH1). A tablet formulation containing 4'-chloro-N-[2-[4-(1-pyrrolidinylmethyl)phenyl]propyl]-1,1'-biphenyl-4-carboxamide was prepared

IT 742084-76-0P 742084-78-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

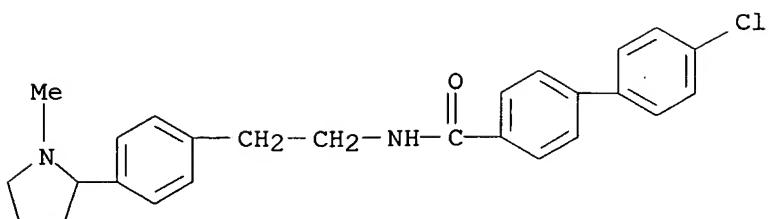
(preparation of N-phenethylpiperidine-1-carboxamide, N-phenethylbenzamides, and N-phenethylbiphenyl-4-carboxamide derivs. as melanin-concentrating

hormone

antagonists)

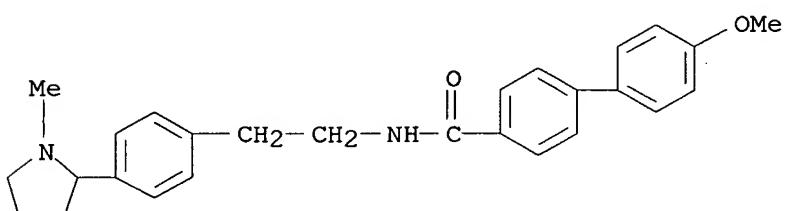
RN 742084-76-0 ZCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[2-[4-(1-methyl-2-pyrrolidinyl)phenyl]ethyl]- (CA INDEX NAME)



RN 742084-78-2 ZCPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-methoxy-N-[2-[4-(1-methyl-2-pyrrolidinyl)phenyl]ethyl]- (CA INDEX NAME)



L4 ANSWER 4 OF 10 ZCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:143094 ZCPLUS

DOCUMENT NUMBER: 140:199743

TITLE: Preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting the intrinsic pathway of blood coagulation

INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Guo, Xiao-chuan; Christen, Daniel Peter; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi, Sameer; Yaramasu, Tripura; Behme, Christopher

PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA

SOURCE: PCT Int. Appl., 326 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014844	A2	20040219	WO 2003-US25045	20030808
WO 2004014844	A3	20050428		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2493008	A1	20040219	CA 2003-2493008	20030808

AU 2003265398	A1	20040225	AU 2003-265398	20030808
US 2004110832	A1	20040610	US 2003-637900	20030808
US 7122580	B2	20061017		
EP 1546089	A2	20050629	EP 2003-785150	20030808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005535710	T	20051124	JP 2004-527986	20030808
CN 1703395	A	20051130	CN 2003-819267	20030808
US 2006276518	A1	20061207	US 2006-500225	20060807
PRIORITY APPLN. INFO.:			US 2002-402272P	P 20020809
			US 2003-637900	A3 20030808
			WO 2003-US25045	W 20030808

OTHER SOURCE(S): MARPAT 140:199743

AB The title compds. Ar<sub>2</sub>XCH(VAr<sub>1</sub>)(CH<sub>2</sub>)cG [I; c = 0-2; G = H, CO<sub>2</sub>R<sub>1</sub>, CH<sub>2</sub>OR<sub>1</sub>, COR<sub>1</sub>, CR<sub>1</sub>:NOR<sub>2</sub>, an acid isostere (wherein R<sub>1</sub>, R<sub>2</sub> = H, alkyl, aryl, etc.); V = (CH<sub>2</sub>)<sub>b</sub>O(CH<sub>2</sub>)<sub>a</sub>, (CH<sub>2</sub>)<sub>b</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>a</sub>, (CH<sub>2</sub>)<sub>b</sub>O, (CH<sub>2</sub>)<sub>b</sub>NR<sub>7</sub>, (CH<sub>2</sub>)<sub>a</sub>, a bond (a = 0-2; b = 1-2; R<sub>7</sub> = H, alkyl, aryl, etc.); X = NR<sub>8</sub>, COR<sub>8</sub>, NR<sub>8</sub>CO, etc. (R<sub>8</sub> = H, alkyl, aryl, etc.); Ar<sub>1</sub> = (un)substituted aryl, heteroaryl, cycloalkylaryl, etc.; Ar<sub>2</sub> = (un)substituted aryl or heteroaryl], useful as antagonists, or more preferably, partial antagonists of factor IX and thus, may be used to inhibit the intrinsic pathway of blood coagulation, were prepared Thus, reacting Me 2-L-amino-3-biphenyl-4-yl-propionate with isoquinoline-3-carboxylic acid followed by hydrolysis afforded 81% 3-biphenyl-4-yl-(2S)-[(isoquinoline-3-carbonyl)amino]propionic acid. The compds. I inhibit factor IX with IC<sub>50</sub> of less than 30 μM, and are useful in a variety of applications including the management, treatment and/or control of diseases caused in part by the intrinsic clotting pathway utilizing factor IX. Such diseases or disease states include stroke, myocardial infarction, aneurysm surgery, and deep vein thrombosis associated with surgical procedures, long periods of confinement, and acquired or inherited pro-coagulant states. The pharmaceutical composition comprising the compound I is claimed.

IT 660826-45-9P 660826-69-7P 660826-70-OP

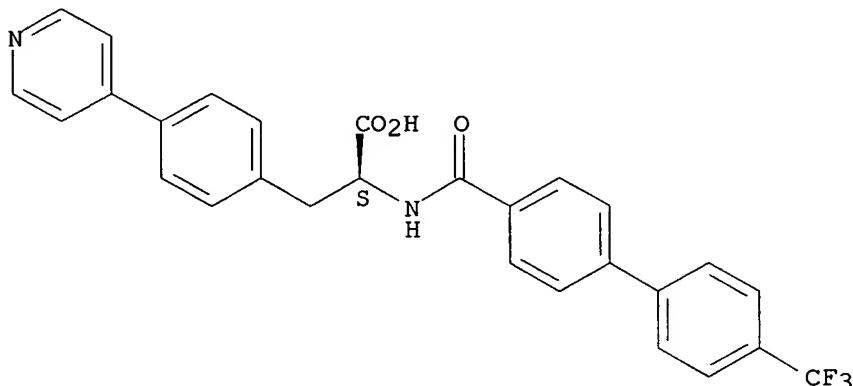
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting intrinsic pathway of blood coagulation)

RN 660826-45-9 ZCAPLUS

CN L-Phenylalanine, 4-(4-pyridinyl)-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

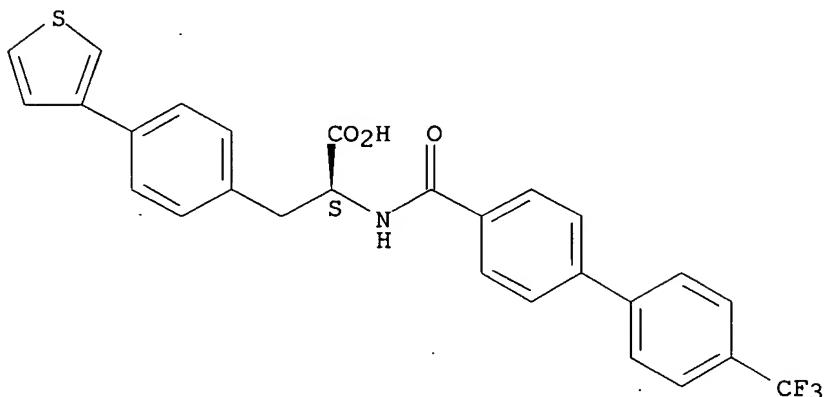


10/ 647,156

RN 660826-69-7 ZCPLUS

CN L-Phenylalanine, 4-(3-thienyl)-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]carbonyl]- (CA INDEX NAME)

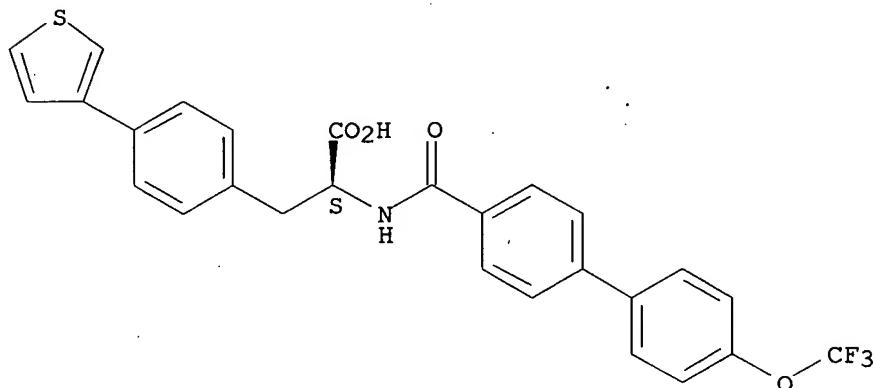
Absolute stereochemistry.



RN 660826-70-0 ZCPLUS

CN L-Phenylalanine, 4-(3-thienyl)-N-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 10 ZCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:319711 ZCPLUS

DOCUMENT NUMBER: 138:338153

TITLE: Preparation of 2'-methyl-5'-(1,3,4-oxadiazol-2-yl)-1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors

INVENTOR(S): Angell, Richard Martyn; Bamborough, Paul; Cockerill, George Stuart; Walker, Ann Louise

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

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WO 2003032986	A1	20030424	WO 2002-EP11569	20021016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002338895	A1	20030428	AU 2002-338895	20021016
EP 1435949	A1	20040714	EP 2002-777313	20021016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005507910	T	20050324	JP 2003-535789	20021016
US 2004266839	A1	20041230	US 2004-492713	20040415
PRIORITY APPLN. INFO.:			GB 2001-24936	A 20011017
			WO 2002-EP11569	W 20021016

OTHER SOURCE(S): MARPAT 138:338153

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R<sub>1</sub> = (un)substituted Ph; R<sub>2</sub> = H, alkyl, (CH<sub>2</sub>)<sub>n</sub>cycloalkyl; R<sub>3</sub> = II (wherein R<sub>4</sub> = H, alkyl); U = Me, halo; X, Y = H, Me, halo; m = 0-4; n = 0-2; p = 0-2], useful as pharmaceuticals, particularly as p38 kinase inhibitors, were prepared E.g., 6-step synthesis of the carboxamide III, starting from 3-bromo-4-methylbenzoic acid, was given.

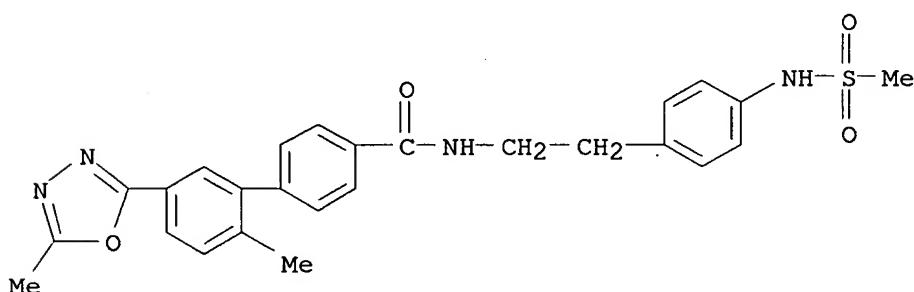
IT 515153-24-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2'-methyl-5'-(1,3,4-oxadiazol-2-yl)-1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors)

RN 515153-24-9 ZCPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 2'-methyl-5'-(5-methyl-1,3,4-oxadiazol-2-yl)-N-[2-[4-[(methylsulfonyl)amino]phenyl]ethyl]- (CA INDEX NAME)

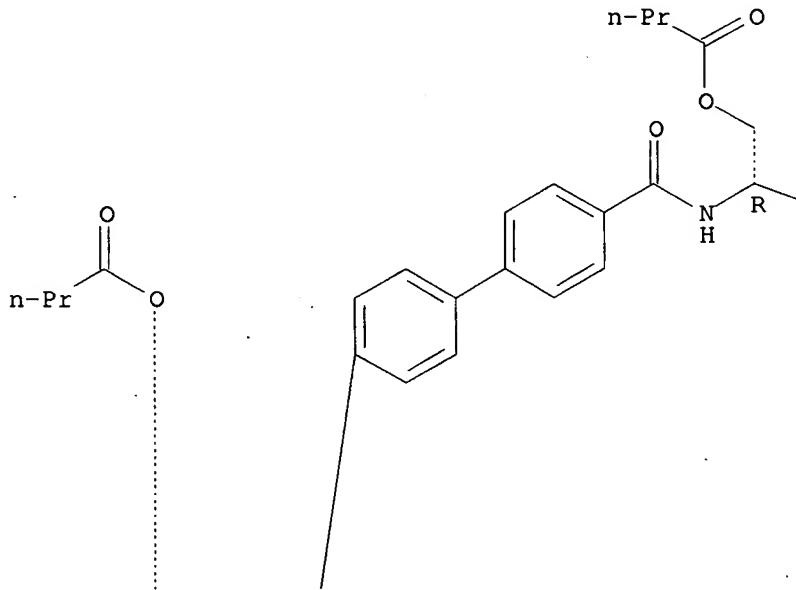


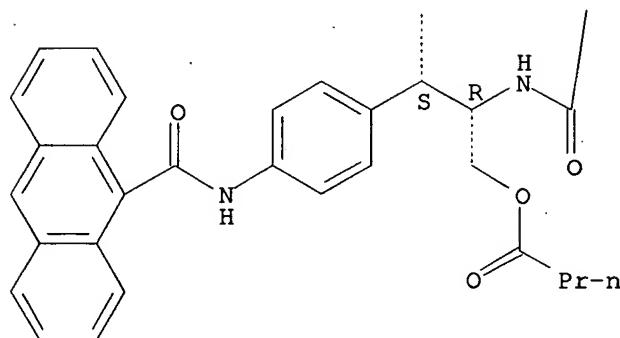
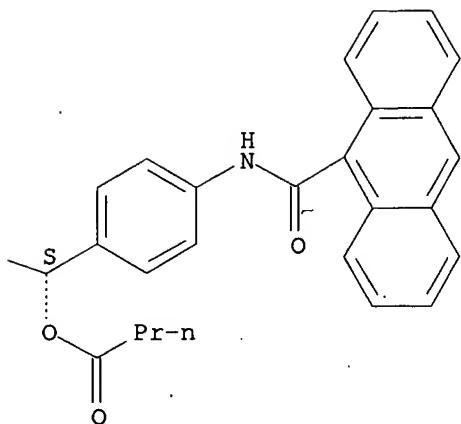
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2003:87650 ZCPLUS  
 DOCUMENT NUMBER: 138:397876  
 TITLE: Unusual Fluorescent Properties of N-(9-Anthroyl)  
           Derivatives of Aromatic Amines  
 AUTHOR(S): Molotkovsky, Jul. G.  
 CORPORATE SOURCE: Shemyakin-Ovchinnikov Institute of Bioorganic  
                   Chemistry, Russian Academy of Sciences, Moscow,  
                   117997, Russia  
 SOURCE: Russian Journal of Bioorganic Chemistry (Translation  
           of Bioorganicheskaya Khimiya) (2003), 29(1), 94-95  
 CODEN: RJBCET; ISSN: 1068-1620  
 PUBLISHER: MAIK Nauka/Interperiodica Publishing  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB 9-Anthroyl derivs. of some aromatic amines exhibit unusual fluorescence characteristics. In solvents of low and medium polarity (hexane, chloroform, DMF, and tert-butanol), their emission maxima are shifted to longer wavelengths as compared to the spectra recorded in polar solvents (ethanol and methanol); the red shift is accompanied by an increase in the fluorescence quantum yield. Possible reasons of such an anomalous spectral shift are discussed.  
 IT 529484-27-3  
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL  
     (Biological study)  
     (unusual fluorescent properties of N-(9-anthroyl) derivs. of aromatic amines)  
 RN 529484-27-3 ZCPLUS  
 CN Butanoic acid, [1,1'-biphenyl]-4,4'-diylbis[carbonylimino[(1S,2R)-1-[4-[(9-anthracylcarbonyl)amino]phenyl]-2,1,3-propanetriyl]] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:543220 ZCAPLUS

DOCUMENT NUMBER: 129:175563

TITLE: 4-Substituted quinoline derivatives and 4-substituted quinoline combinatorial libraries

INVENTOR(S): Hayes, Thomas K.; Forood, Behrouz; Kiely, John S.

PATENT ASSIGNEE(S): Trega Biosciences, Inc., USA

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

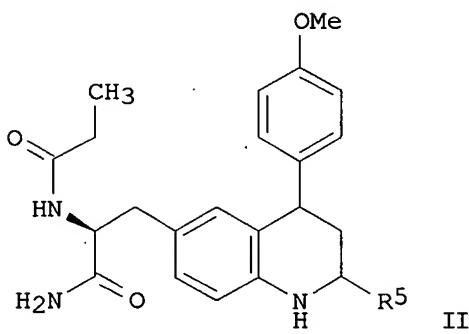
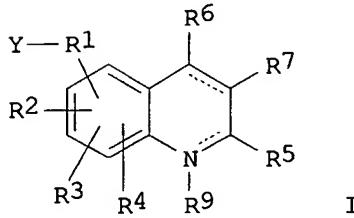
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834115	A1	19980806	WO 1997-US22391	19971205
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,			

GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
 GN, ML, MR, NE, SN, TD, TG  
 CA 2279977 A1 19980806 CA 1997-2279977 19971205  
 AU 9881919 A 19980825 AU 1998-81919 19971205  
 EP 977989 A1 20000209 EP 1997-949775 19971205  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 US 6262269 B1 20010717 US 1998-17785 19980203  
 US 6388081 B1 20020514 US 1999-376670 19990816  
 PRIORITY APPLN. INFO.: US 1997-795392 A 19970204  
 US 1997-126414P P 19970204  
 WO 1997-US22391 W 19971205  
 US 1998-17785 A3 19980203

OTHER SOURCE(S): MARPAT 129:175563

GI

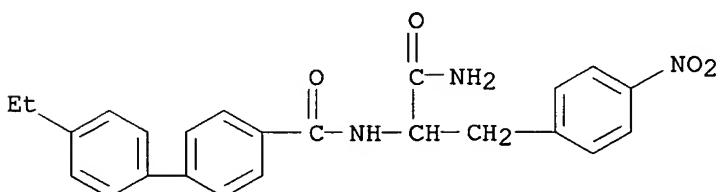


AB The invention relates to novel 4-substituted quinoline derivs. I, their salts, and combinatorial libraries containing mixts. of two or more such compds. [wherein R1 = bond, (un)substituted alk(en/yn)ylene, cycloalk(en)ylene, phenylene, naphthylene, heterocycle, heteroaryl, amino, CH2CONH, (CH2)pAr(CH2)q, etc.; p, q = 0-6 but both cannot be 0; Ar = (un)substituted Ph or heteroaryl; R2, R3, R4 = H, halo, (un)protected OH, cyano, NO<sub>2</sub>, (un)substituted alk(en/yn)yl, alkoxy, cycloalk(en)yl, heterocyclyl, phenylalkyl, Ph, naphthyl, etc.; R5 = H, (un)substituted alk(en/yn)yl, cycloalk(en)yl, Ph, naphthyl, phenylalkyl, (un)protected CO<sub>2</sub>H, acyl, heterocyclyl, etc.; R6 = H, (un)substituted Ph, naphthyl, 2-oxopyrrolidin-1-yl and higher homologs, (un)substituted NHCHO; R7 = H, (un)substituted alkyl; Y = CO<sub>2</sub>H, OH, SH, NHR8, CONHR8, CH<sub>2</sub>OH, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>NHR8; R8 = H, (un)substituted alkyl, or functionalized resin; R9 = H, (un)substituted alkyl, phenylalkyl, acyl, PhSO<sub>2</sub>, alkylsulfonyl, alkylaminocarbonyl, or PhNHCO, or is absent; dotted lines = optional pi bonds]. The invention also relates to the generation of such libraries. In 12 examples, libraries of I ranging in size from 2380 to 39,440 compds. were prepared as mixed sublibraries. Data for control compds. (samples of individually known intermediates and products, cleaved from simultaneously processed control resins) are given for some examples. Both quinoline and tetrahydroquinoline libraries were prepared. For instance, tea-bags of MBHA resin were each coupled with L- or D-N-BOC-p-nitrophenylalanine, the BOC groups were removed from both, and the amino groups were each acylated with 170 carboxylic acids. The acylated, resin-bound products were mixed and reduced at the nitro group, and the amine product mixts. were condensed with 58 different aldehydes and cyclized with 4-methoxystyrene. Cleavage of the resin-bound products with HF gave mixed sublibraries of I. Individual control samples of products, such as II [R5 = 1-naphthyl,

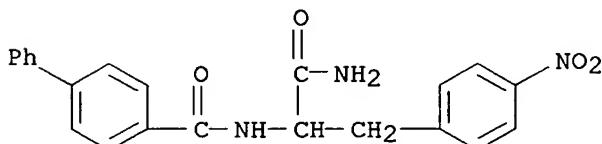
2,3-difluorophenyl, cyclohexyl, etc.], were obtained by reactions of pure, resin-bound L-N-propanoyl-p-aminophenylalanine control samples with individual aldehydes and 4-methoxystyrene. Potential applications of I (no data) may include use as antibacterials, NMDA antagonists, or analgesics.

IT 211377-24-1P 211377-28-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (resin-cleavage control intermediate; preparation of tricyclic tetrahydroquinoline derivs. and combinatorial libraries)

RN 211377-24-1 ZCPLUS  
 CN [1,1'-Biphenyl]-4-carboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]-4'-ethyl- (9CI) (CA INDEX NAME)



RN 211377-28-5 ZCPLUS  
 CN [1,1'-Biphenyl]-4-carboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 ZCPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1998:543216 ZCPLUS  
 DOCUMENT NUMBER: 129:175562  
 TITLE: Tricyclic tetrahydroquinoline derivatives and tricyclic tetrahydroquinoline combinatorial libraries  
 INVENTOR(S): Hayes, Thomas K.; Kiely, John S.  
 PATENT ASSIGNEE(S): Trega Biosciences, Inc., USA  
 SOURCE: PCT Int. Appl., 119 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834111	A1	19980806	WO 1997-US22206	19971205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,				

GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
GN, ML, MR, NE, SN, TD, TG

US 5925527	A	19990720	US 1997-795893	19970204
CA 2279980	A1	19980806	CA 1997-2279980	19971205
AU 9855928	A	19980825	AU 1998-55928	19971205
NZ 337046	A	20000128	NZ 1997-337046	19971205
EP 983507	A1	20000308	EP 1997-952280	19971205

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

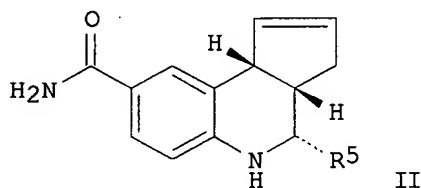
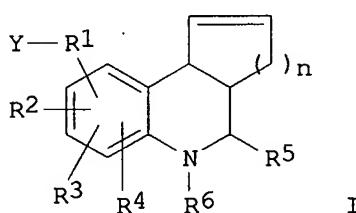
PRIORITY APPLN. INFO.:

US 1997-795893	A 19970204
WO 1997-US22206	W 19971205

OTHER SOURCE(S):

MARPAT 129:175562

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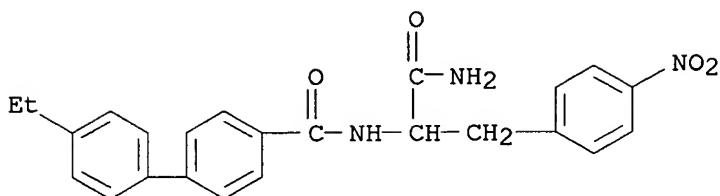
**AB** The invention relates to novel tricyclic tetrahydroquinoline compds. I, their salts, and combinatorial libraries containing mixts. of two or more such compds. [wherein R1 = bond, (un)substituted alk(en/yn)ylene, cycloalk(en)ylene, phenylene, naphthylene, heterocycle, heteroaryl, amino, CH2CONH, (CH2)pAr(CH2)q; p, q = 0-6 but both cannot be 0; Ar = (un)substituted Ph or heteroaryl; R2, R3, R4 = H, halo, (un)protected OH, cyano, NO<sub>2</sub>, (un)substituted alk(en/yn)yl, alkoxy, cycloalk(en)yl, heterocyclyl, phenylalkyl, Ph, naphthyl, etc.; R5 = H, (un)substituted alk(en/yn)yl, cycloalk(en)yl, Ph, naphthyl, phenylalkyl, (un)protected CO<sub>2</sub>H, acyl, heterocyclyl, etc.; R6 = H, (un)substituted alkyl, phenylalkyl, acyl, PhSO<sub>2</sub>, alkylsulfonyl, alkylaminocarbonyl, PhNHCO; n = 1-3; Y = CO<sub>2</sub>H, OH, SH, NHR<sub>7</sub>, CONHR<sub>7</sub>, CH<sub>2</sub>OH, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>NHR<sub>7</sub>; R7 = H, (un)substituted alkyl, or functionalized resin; R1 must be present and R5 ≠ Ph when Y = CO<sub>2</sub>H]. The invention also relates to the generation of such libraries. In 2 examples, libraries of 2774 and approx. 17,000 compds. I were prepared as mixed sublibraries. Data for control compds. (samples of individually known intermediates and products, cleaved from simultaneously processed control resins) are given. For instance, tea-bags of MBHA resin were each coupled with one of 19 aminobenzoic acids, such as 4-aminobenzoic acid. Diagnostic cleavage of each of these resins with HF gave 19 aminobenzamide controls in 34-99% yield. The 19 resins were mixed together and placed in new tea-bags, then condensed with 73 different aldehydes, and finally cyclized with cyclopentadiene. Cleavage of the resin-bound products with HF gave approx. 73 mixts. of 38 compds. (counting sep. enantiomers). Individual control samples of products, such as II [R5 = H, CH<sub>2</sub>Cl, cyclohexyl, CO<sub>2</sub>H, (un)substituted Ph, etc.], were typically obtained in 50-100% yield by reactions of pure, resin-bound 4-aminobenzoic acid control samples in sibling tea-bags. Potential applications of I (no data) may include use as antibacterials or analgesics.

**IT** 211377-24-1P 211377-28-5P

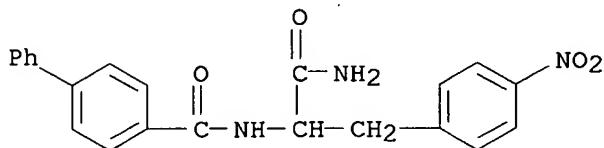
RL: SPN (Synthetic preparation); PREP (Preparation)  
(resin-cleavage control intermediate; preparation of tricyclic tetrahydroquinoline derivs. and combinatorial libraries)

**RN** 211377-24-1 ZCAPLUS**CN** [1,1'-Biphenyl]-4-carboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-

oxoethyl]-4'-ethyl- (9CI) (CA INDEX NAME)



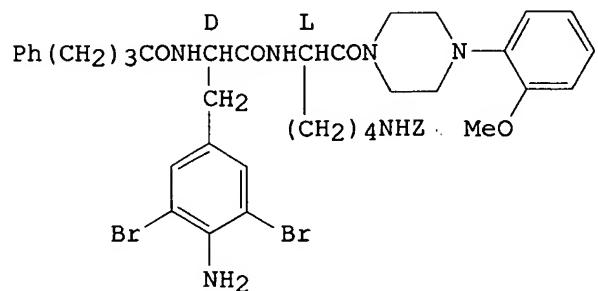
RN 211377-28-5 ZCPLUS  
 CN [1,1'-Biphenyl]-4-carboxamide, N-[2-amino-1-[(4-nitrophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 10 ZCPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1995:480169 ZCPLUS  
 DOCUMENT NUMBER: 122:240447  
 TITLE: Preparation of peptidamide analogs as tachykinin antagonists.  
 INVENTOR(S): Pieper, Helmut; Austel, Volkhard; Jung, Birgit;  
 Buerger, Erich; Entzeroth, Michael  
 PATENT ASSIGNEE(S): Karl Thomas GmbH, Germany  
 SOURCE: Ger. Offen., 101 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4243858	A1	19940630	DE 1992-4243858	19921223
PRIORITY APPLN. INFO.:			DE 1992-4243858	19921223
OTHER SOURCE(S):	MARPAT	122:240447		
GI				



I

AB R4R5NACONHCHR3CXNR1R2 [A = 1,2-cyclopentylene, CHR6; R6 = H, (substituted) alkyl, Ph; R1 = H, (Ph- or pyridyl-substituted) alkyl; R2 = H, (amino- or guanidino-substituted) Ph, pyridyl, (cyclohexyl-, Ph-, or pyridyl-substituted) alkyl, etc.; R1R2N = (substituted) piperazinyl; R3 = H, (phenyl)alkyl, guanidino- or amino-substituted alkyl, aminocarbonylalkyl, etc.; R4 = H, (phenyl)alkyl; R5 = protecting group, (substituted) alkyl, alkanoyl, alkoxycarbonyl, alkylaminocarbonyl, PhCO, naphthylcarbonyl, biphenylcarbonyl, PhSO<sub>2</sub>, etc.; X = (H, H), O, S; the C atom bearing the R3 substituent is L; the C atom bearing the R6 substituent is D or L], were prepared Thus, title compound I (prepared by solution

phase methods) showed IC<sub>50</sub> = 2 nM for neurokinin-1 receptor binding with IM-9 cells. Tablets were prepared containing I.

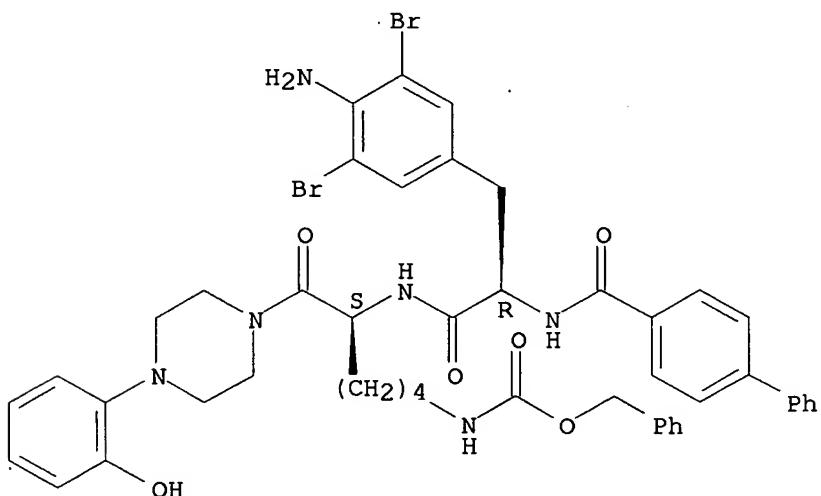
IT 162175-54-4P 162175-55-5P 162177-15-3P  
162177-16-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as tachykinin antagonist)

RN 162175-54-4 ZCPLUS

CN Carbamic acid, [5-[[3-(4-amino-3,5-dibromophenyl)-2-[[[1,1'-biphenyl]-4-ylcarbonyl]amino]-1-oxopropyl]amino]-6-[4-(2-hydroxyphenyl)-1-piperazinyl]-6-oxohexyl-, phenylmethyl ester, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

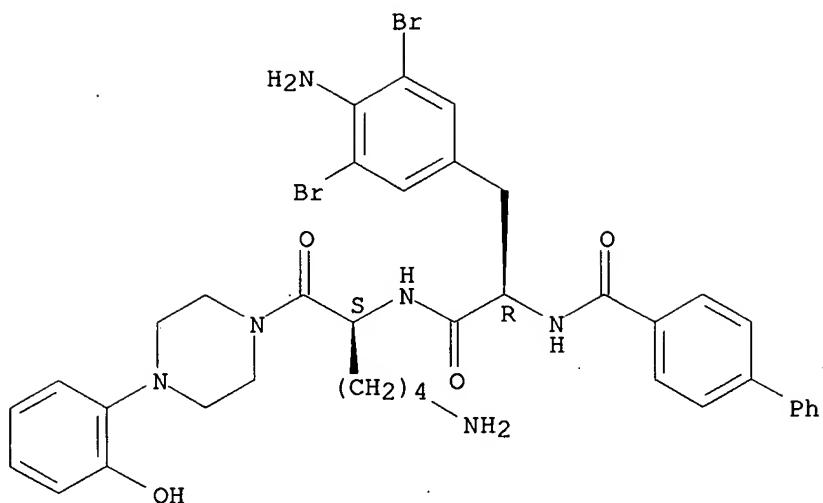
Absolute stereochemistry.



RN 162175-55-5 ZCPLUS

CN Benzenepropanamide, 4-amino-N-[5-amino-1-[[4-(2-hydroxyphenyl)-1-piperazinyl]carbonylpentyl]-α-[[[1,1'-biphenyl]-4-ylcarbonyl]amino]-3,5-dibromo-, dihydrobromide, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

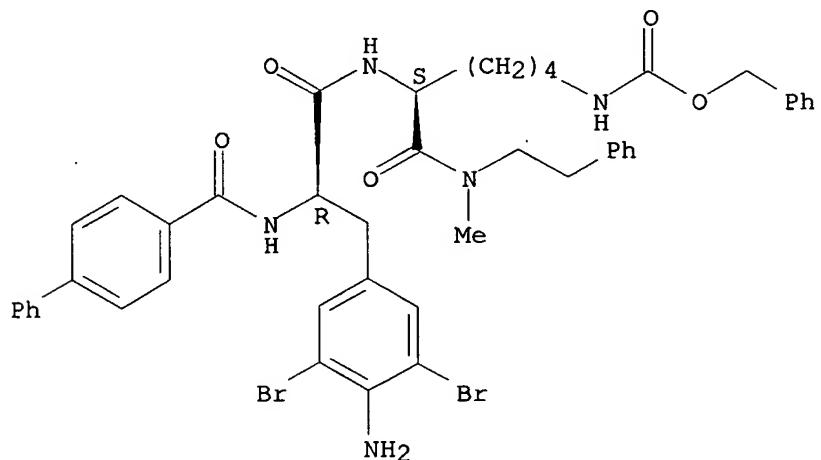


●2 HBr

RN 162177-15-3 ZCPLUS

CN L-Lysinamide, 4-amino-N-([1,1'-biphenyl]-4-ylcarbonyl)-3,5-dibromo-D-phenylalanyl-N-methyl-N-(2-phenylethyl)-N6-[ (phenylmethoxy) carbonyl]- (9CI) (CA INDEX NAME)

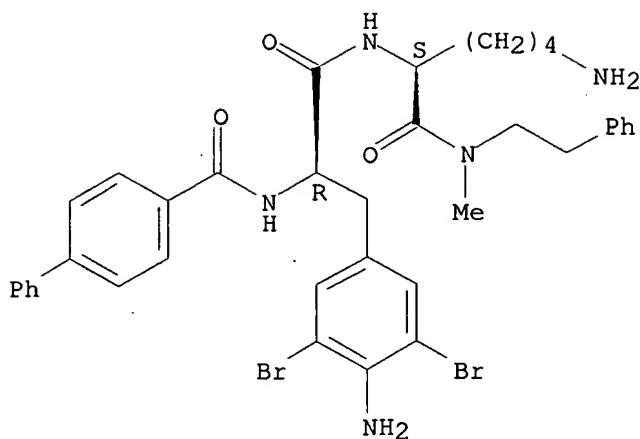
Absolute stereochemistry.



RN 162177-16-4 ZCPLUS

CN L-Lysinamide, 4-amino-N-([1,1'-biphenyl]-4-ylcarbonyl)-3,5-dibromo-D-phenylalanyl-N-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 10 ZCPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1984:491715 ZCPLUS  
 DOCUMENT NUMBER: 101:91715  
 TITLE: Bis(aminoneopentyl) aromatics and polyamides derived from them  
 INVENTOR(S): Frazer, August H.; Harris, John F., Jr.  
 PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA  
 SOURCE: U.S., 21 pp. Division of U.S. Ser. No. 266,058.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4451642	A	19840529	US 1982-420511	19820920
US 4564705	A	19860114	US 1981-266058	19810521
PRIORITY APPLN. INFO.:			US 1977-804853	A2 19770608
			US 1981-266058	A3 19810521

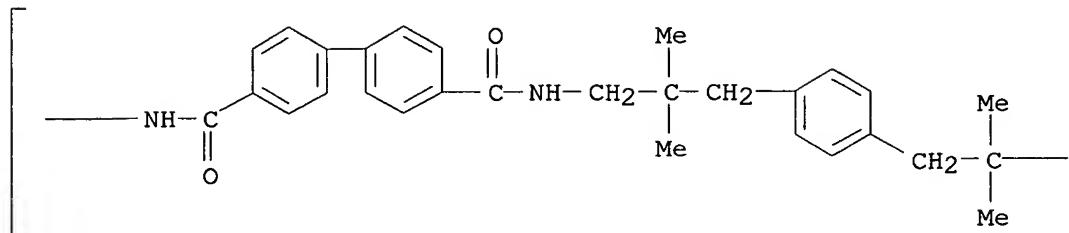
OTHER SOURCE(S): CASREACT 101:91715; MARPAT 101:91715  
 AB Aromatic-aliphatic diamines having formula  $(H_2NCH_2CMe_2CH_2)_2Z$  ( $Z$  = arylene or substituted arylene) are prepared and used for the preparation of thermally stable rigid polyamides. Thus, 8.50 g 4,4'-bis(bromomethyl)biphenyl [20248-86-6] was added to a mixture of THF 250, (iso-Pr)2NH [108-18-9] 7.00, and 2.4 M BuLi 21.0 mL and 3.42 g Me2CHCN in 20 mL THF. The mixture was stirred at -76° to give 6.8 g 4,4'-bis(2-methyl-2-cyanopropyl)biphenyl (I) [69774-40-9]. A mixture of 6.54 g I in 400 mL PhMe and 71 mL 25% (iso-Bu)2AlH in PhMe was refluxed for 17 h and 40 min. A solution of 5 mL water in 22 mL MeOH was added dropwise followed by another dropwise addition of a solution of 20 mL water in 40 mL MeOH to give 4,4'-bis(2,2-dimethyl-3-aminopropyl)biphenyl (II) [69761-38-2]. A mixture of 9.6500 g II and 9.4659 g di-Ph terephthalate (III) was heated from 210° to 300° for 6 h and 44 min to give a copolymer [91629-01-5]. The weight loss of this copolymer after heating at 375° for 1 h was 17.5%, compared with 26.5% for 4,4'-bis(1,1-dimethyl-3-aminopropyl)biphenyl-III copolymer.

IT 91629-08-2P  
 RL: PREP (Preparation)  
 (manufacture of heat-stable)  
 RN 91629-08-2 ZCPLUS  
 CN Poly[iminocarbonyl[1,1'-biphenyl]-4,4'-diylcarbonylimino(2,2-dimethyl-1,3-

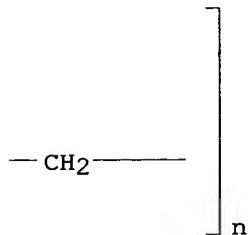
10/ 647,156

propanediyl)-1,4-phenylene(2,2-dimethyl-1,3-propanediyl)] (9CI) (CA INDEX  
NAME)

PAGE 1-A



PAGE 1-B



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(FILE 'HOME' ENTERED AT 17:35:10 ON 01 NOV 2007)

FILE 'REGISTRY' ENTERED AT 17:35:17 ON 01 NOV 2007

L1           STRUCTURE UPLOADED  
L2           0 S L1  
L3           22 S L1 FUL

FILE 'ZCAPLUS' ENTERED AT 17:36:30 ON 01 NOV 2007

L4           10 S L3

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	52.76	225.52
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-7.80	-7.80

STN INTERNATIONAL LOGOFF AT 17:37:18 ON 01 NOV 2007